

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009956 A1

(51) International Patent Classification⁷: **C07D 207/16,**
A61K 31/401, A61P 43/00

Park, NC 27709 (US). **RANDHAWA, Amarjit, Sab**
[CA/US]; GlaxoSmithKline, Five Moore Drive, PO Box
13398, Research Triangle Park, NC 27709 (US).

(21) International Application Number:

PCT/US2004/023263

(74) Agents: **LEVY, David, J. et al.**; GlaxoSmithKline, Five
Moore Drive, PO Box 13398, Research Triangle Park, NC
27709 (US).

(22) International Filing Date: 19 July 2004 (19.07.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/488,902

21 July 2003 (21.07.2003) US

(71) Applicant (for all designated States except US):
SMITHKLINE BEECHAM CORPORATION
[US/US]; One Franklin Plaza, Philadelphia, PA 19101
(US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(72) Inventors; and

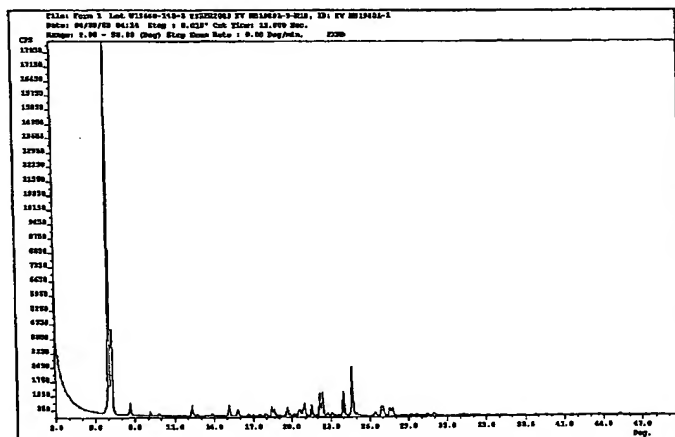
(75) Inventors/Applicants (for US only): **IGO, David, H.**
[US/US]; GlaxoSmithKline, Five Moore Drive, PO Box
13398, Research Triangle Park, NC 27709 (US). **JOHN-**
SON, Paul, R. [US/US]; GlaxoSmithKline, Five Moore
Drive, PO Box 13398, Research Triangle Park, NC 27709
(US). **PATTERSON, Daniel, E.** [US/US]; GlaxoSmithK-
line, Five Moore Drive, PO Box 13398, Research Triangle

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: (2S,4S)-4-FLUORO-1-[4-FLUORO-BETA-(4-FLUOROPHENYL)-L-PHENYLALANYL]-2-PYRROLIDINECAR-
BONITRILE P-TOLUENESULFONIC ACID SALT AND ANHYDROUS CRYSTALLINE FORMS THEREOF

Powder X-ray diffraction pattern of anhydrous form 1 tosylate salt



(57) Abstract: The present invention includes anhydrous crystalline forms of (2S,4S)-4-fluoro-1-[4-fluoro-β-(4-fluorophenyl)-L-phenylalanyl]-2-pyrrolidinecarbonitrile *p*-toluenesulfonic acid salt.

WO 2005/009956 A1



Published:

- with international search report
- with amended claims

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.